

Attorney Docket No. 9286.7  
 Application Serial No.: 10/019,902  
 Filed: July 2, 2002

### IN THE CLAIMS

Please amend the claims as follows. The following listing of claims replaces all prior versions.

- I. (currently amended) A compound of the general formula (I)



wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is  $A^1-(A^2-A^3)_k-sp$ , wherein

$A^1$  is  $(CH_2)_tY(CH_2)_u$ , wherein

Y is  $>C=O$ ,  $>NH$ ,  $-O-$ ,  $-S-$  or a bond,

t is an integer from 0 to 6 and

u is an integer from 0 to 6,

$(A^2-A^3)$  can be any  $A^2$  and any  $A^3$  in any combination,

$A^2$  is  $-NHCO-[I,II]$  or  $-CONH-$ ,  $-OCONH$  or  $SCONH$ , or  $-CO-$ ,

$A^3$  is  $(CH_2)_r$ ,  $O(CH_2)_r$ ,  $NH(CH_2)_r$  or  $S(CH_2)_r$  or  $-(CH_2)_r$ , wherein

r is an integer from 1 to 6 and

~~Q is a substituted or unsubstituted alkyl or aryl group,~~

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

- (1) in the compound at least one R is not hydrogen,
- (2) there are at least two K that are not a bond, and
- (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and

Attorney Docket No. 9286.7  
 Application Serial No.: 10/019,902  
 Filed: July 2, 2002

(4) the molar mass of the fragment  $X(K)_m$  is less than 20,000.

2. (previously presented) A compound according to claim 1, wherein the molar mass of the fragment  $X(K)_m$  is less than 4,000.

3. (previously presented) A compound according to claim 1, wherein

$m$  is an integer from 2 to 4, and

$X$  is  $CH_{4-m}$ ,  $NH_{3-m}$ ,  $N^+H_{4-m}$ ,  $>P-$  (when  $m = 3$ ),  $>P^+<$  (when  $m = 4$ ),  $>B-$  (when  $m = 3$ ), a linear atom group  $C_2H_{6-m}$ ,  $>CH(CH_2)_2CH<$ ,  $>C=C<$ ,  $>N-N<$ ,  $>N(CH_2)_zN<$  wherein  $z = 2 - 6$ , when  $m = 4$ , a carbocyclic atom group  $C_6H_{6-m}$ ,  $C_6H_{12-m}$ , or a heterocyclic atom group  $C_3N_3$  (when  $m = 3$ ),  $C_4N_2$  (when  $m = 4$ ).

4. (previously presented) A compound according to claim 1, wherein there are at least 3 K.

5. (previously presented) A compound according to claim 1, wherein at least two R are not hydrogen.

6. (previously presented) A compound according to claim 1, wherein at least three R are not hydrogen.

7. (canceled)

8. (previously presented) A compound according to claim 1, wherein the ligand R is sialic acid, sialyl lactose, sialyl lactosamine, lactose, mannose,  $Gal\alpha 1-3Gal$ ,  $Gal1\alpha-3(Fuca1-2)Gal$ ,  $GalNAc\alpha 1-3(Fuca1-2)Gal$ ,  $Neu5Ac\alpha 2-6GalNAc$ ,  $SiaLe^A$ ,  $SiaLe^X$ ,  $HSO_3Le^A$ ,  $HSO_3Le^X$ ,  $Gal\alpha 1-3Gal\beta 1-4GlcNAc$ ,  $Gal\alpha 1-3Gal\beta 1-4Glc$ ,  $HSO_3GlcA\beta 1-3Gal\beta 1-4GlcNAc$ , N-acetyl-lactosamine or polylactosamine, or wherein the ligand R is sialic acid benzyl glycoside,

Attorney Docket No. 9286.7  
 Application Serial No.: 10/019,902  
 Filed: July 2, 2002

HSO<sub>3</sub>GlcAβ1-3Gal, HSO<sub>3</sub>GlcAβ1-3Galβ1-4GlcNAcβ1-3Galβ1-4Glc, GalNAcα, GalNAcα1-3(Fucα1-2)Galβ1-4GlcNAc, Galα1-3(Fucα1-2)Galβ1-4GlcNAc, HSO<sub>3</sub>(Sia)Le<sup>X</sup>, HSO<sub>3</sub>(Sia)Le<sup>A</sup>, Le<sup>Y</sup>, GlcNAcβ1-6(GlcNAcβ1-3)Galβ1-4Glc, GalNAcβ1-4(Neu5Acα2-3)Galβ1-4Glc, mannose-6-phosphate, GalNAcβ1-4GlcNAc, oligo-sialic acid, N-glycolylneuraminic acid, Galα1-4Galβ1-4Glc, or Galα1-4Galβ1-4GlcNAc.

9. (previously presented) A compound according to claim 1, wherein
- m is an integer from 2 to 4,
  - X is CH<sub>4-m</sub>,
  - A<sup>1</sup> is CH<sub>2</sub>,
  - A<sup>2</sup> is NHCO,
  - A<sup>3</sup> is CH<sub>2</sub>,
  - k is 8,
  - sp is (CH<sub>2</sub>)<sub>3</sub>CONHCH<sub>2</sub>CONHC<sub>6</sub>H<sub>4</sub>-4-CH<sub>2</sub>O- and
  - R is Neu5Acα2-6Galβ1-4GlcNAc.

10. (currently amended) An aggregate of the general formula (II):



wherein X(B)<sub>m</sub> may be identical or different and denote a compound of the general formula (I),



wherein

- X is an m-valent unit and
- B are identical or different and denote K-R, wherein
  - K is a bond or is A<sup>1</sup>-(A<sup>2</sup>-A<sup>3</sup>)<sub>k</sub>-sp, wherein
    - A<sup>1</sup> is (CH<sub>2</sub>)<sub>t</sub>Y(CH<sub>2</sub>)<sub>u</sub>, wherein
      - Y is >C=O, >NH, -O-, -S- or a bond.
      - t is an integer from 0 to 6 and
      - u is an integer from 0 to 6,
      - (A<sup>2</sup>-A<sup>3</sup>) can be any A<sup>2</sup> and any A<sup>3</sup> in any combination,

Attorney Docket No. 9286.7  
 Application Serial No.: 10/019,902  
 Filed: July 2, 2002

$A^2$  is  $\text{NHCO}-[\text{I},\text{J}]$  or  $\text{CONH}-$ ,  $\text{OCONH}-$  or  $\text{SCONH}-$ , or  $\text{CO}-$ ,

$A^3$  is  $(\text{CH}_2)_r$ ,  $\text{O}(\text{CH}_2)_r$ ,  $\text{NH}(\text{CH}_2)_r$ , or  $\text{S}(\text{CH}_2)_r$ , or  $(\text{CHQ})-$ , wherein

$r = 1$ , is an integer from 1 to 6 and

$Q$  is a substituted or unsubstituted alkyl or aryl group,

$sp$  is a divalent spacer or a bond, and

$k$  is an integer from 5 to 100, and

$R$  is hydrogen or a ligand suitable for specific bonding to a receptor; and

$m$  is at least 2,

with the proviso that

- (1) in the compound at least one  $R$  is not hydrogen,
- (2) there are at least two  $K$  that are not a bond, and
- (3)  $X$ ,  $B$  and  $m$  are so selected that an intermolecular association of the  $K$  in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of  $R$  that are not hydrogen, and
- (4) the molar mass of the fragment  $X(K)_m$  is less than 20,000, and  $n$  is from 2 to 100,000,

and wherein  $X(B)_m$  are non-covalently bonded.

11. (previously presented) An aggregate according to claim 10 having a leaf-like, linear, cyclic, polycyclic, polyhedral, spherical or dendritic structure.

12. (currently amended) An aggregate according to claim 10 of two or more different compounds comprising a compound of the general formula (I)



wherein

$X$  is an  $m$ -valent unit and

$B$  are identical or different and denote  $K-R$ , wherein

$K$  is a bond or is  $A^1-(A^2-A^3)_k-sp$ , wherein

$A^1$  is  $(\text{CH}_2)_l Y(\text{CH}_2)_u$ , wherein

$Y$  is  $>\text{C}=\text{O}$ ,  $>\text{NH}$ ,  $-\text{O}-$ ,  $-\text{S}-$  or a bond,

Attorney Docket No. 9286.7  
 Application Serial No.: 10/019,902  
 Filed: July 2, 2002

t is an integer from 0 to 6 and

u is an integer from 0 to 6,

(A<sup>2</sup>-A<sup>3</sup>) can be any A<sup>2</sup> and any A<sup>3</sup> in any combination,

A<sup>2</sup> is -NHCO-~~[[,]]~~ or -CONH-, ~~OCONH~~ or ~~SCONH~~, or ~~CO~~,

A<sup>3</sup> is (CH<sub>2</sub>)<sub>t</sub>, O(CH<sub>2</sub>)<sub>t</sub>, NH(CH<sub>2</sub>)<sub>t</sub>, or S(CH<sub>2</sub>)<sub>t</sub>, or ~~(CHQ)~~, wherein

r = 1, ~~is an integer from 1 to 6 and~~

~~Q is a substituted or unsubstituted alkyl or aryl group,~~

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

- (1) in the compound at least one R is not hydrogen,
- (2) there are at least two K that are not a bond, and
- (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and
- (4) the molar mass of the fragment X(K)<sub>m</sub> is less than 20,000.

13. (canceled)

14. (previously presented) A method according to claim 27, further comprising adding a concentrated salt solution, changing the pH or the temperature, or adding organic solvents.

15. (currently amended) A method for changing the structure of an aggregate of the general formula (II)



wherein X(B)<sub>m</sub> may be identical or different and denote a compound of the general formula (I),



Attorney Docket No. 9286.7  
 Application Serial No.: 10/019,902  
 Filed: July 2, 2002

wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is  $A^1-(A^2-A^3)_k$ -sp, wherein

$A^1$  is  $(CH_2)_tY(CH_2)_u$ , wherein

Y is  $>C=O$ ,  $>NH$ ,  $-O-$ ,  $-S-$  or a bond,

t is an integer from 0 to 6 and

u is an integer from 0 to 6,

$(A^2-A^3)$  can be any  $A^2$  and any  $A^3$  in any combination,

$A^2$  is  $-NHCO-[l,]$  or  $-CONH-$ ,  ~~$OCONH$  or  $SCONH$~~ , or  $-CO-$ ,

$A^3$  is  $(CH_2)_r$ ,  $O(CH_2)_r$ ,  $NH(CH_2)_r$ , or  $S(CH_2)_r$ , or  ~~$(CHO)$~~ , wherein

~~r = 1, is an integer from 1 to 6 and~~

~~Q is a substituted or unsubstituted alkyl or aryl group,~~

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

- (1) in the compound at least one R is not hydrogen,
  - (2) there are at least two K that are not a bond, and
  - (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and
  - (4) the molar mass of the fragment  $X(K)_m$  is less than 20,000, and
- n is from 2 to 100,000,

and wherein  $X(B)_n$  are non-covalently bonded,

further comprising adding a concentrated salt solution, changing the temperature or the pH and/or adding urea, trifluoroethanol or peptides.

Attorney Docket No. 9286.7  
 Application Serial No.: 10/019,902  
 Filed: July 2, 2002

16. (previously presented) A method according to claim 27 further comprising increasing the specific physiological activities of molecules by incorporating a radical R into a compound of the general formula (I).

17. (canceled)

18. (currently amended) A method of treating diseases arising from inflammation, viral and bacterial infections, influenza viruses, selectin-mediated inflammatory processes, tumour metastases, or in the neutralisation of antibodies in autoimmune disorders and transplants; said method comprising administering a compound of the general formula (I)



wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is  $A^1-(A^2-A^3)_k-sp$ , wherein

$A^1$  is  $(CH_2)_tY(CH_2)_u$ , wherein

Y is  $>C=O$ ,  $>NH$ ,  $-O-$ ,  $-S-$  or a bond,

t is an integer from 0 to 6 and

u is an integer from 0 to 6,

$(A^2-A^3)$  can be any  $A^2$  and any  $A^3$  in any combination,

$A^2$  is  $-NHCO-[(,)]$  or  $-CONH-$ ,  $-OCONH-$  or  $-SCONH-$ , or  $-CO-$ ,

$A^3$  is  $(CH_2)_r$ ,  $O(CH_2)_r$ ,  $NH(CH_2)_r$ , or  $S(CH_2)_r$  or  $-(CHQ)-$ , wherein

$r = 1$ , is an integer from 1 to 6 and

~~Q is a substituted or unsubstituted alkyl or aryl group,~~

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

(1) in the compound at least one R is not hydrogen,

Attorney Docket No. 9286.7  
 Application Serial No.: 10/019,902  
 Filed: July 2, 2002

- (2) there are at least two K that are not a bond, and
- (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and
- (4) the molar mass of the fragment  $X(K)_m$  is less than 20,000; or administering into an aggregate of the general formula (II)



wherein

$X(B)_m$  may be identical or different and denote a compound of the general formula (I), and

n is from 2 to 100,000,

and wherein  $X(B)_m$  are non-covalently bonded.

19. (canceled)

20. (previously presented) A method according to claim 18 further comprising preparing functionalized molecular surfaces.

21. (canceled)

22. (canceled)

23. (currently amended) A compound of the general formula (I),



wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is  $A^1-(A^2-A^3)_k$ -sp, wherein

$A^1$  is  $(CH_2)_tY(CH_2)_u$ , wherein

Y is  $>C=O$ ,  $>NH$ ,  $-O-$ ,  $S-$  or a bond,

t is an integer from 0 to 6 and



Attorney Docket No. 9286.7  
 Application Serial No.: 10/019,902  
 Filed: July 2, 2002

u is an integer from 0 to 6,  
 $(\Lambda^2-\Lambda^3)$  can be any  $\Lambda^2$  and any  $\Lambda^3$  in any combination,  
 $\Lambda^2$  is  $-\text{NHCO}-[\text{I},\text{I}]$  or  $-\text{CONH}-$ ,  ~~$-\text{OCONH}-$  or  $-\text{SCONH}-$  or  $-\text{CO}-$~~ ,  
 $\Lambda^3$  is  $(\text{CH}_2)_r$ ,  $\text{O}(\text{CH}_2)_r$ ,  ~~$\text{NH}(\text{CH}_2)_r$  or  $\text{S}(\text{CH}_2)_r$  or  $-(\text{CHQ})-$~~ , wherein  
 $r = 1$ , ~~is an integer from 1 to 6 and~~  
~~Q is a substituted or unsubstituted alkyl or aryl group,~~  
 sp is a divalent spacer or a bond, and  
 k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and  
 m is at least 2,  
 with the proviso that

- (1) X, B and m are so selected that an intermolecular association of the K in liquid phase is possible, especially under aqueous conditions, by the formation of hydrogen bonds, with formation of aggregates, and
- (2) the molar mass of the fragment  $\text{X}(\text{K})_m$  is less than 20,000, especially less than 4000.

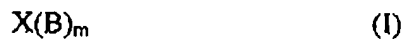
24-26. (canceled)

27. (currently amended) A method of preparing an aggregate comprising:  
 preparing a compound of the general formula (II)



wherein

$\text{X}(\text{B})_m$  may be identical or different and denote a compound of the general formula (I),



wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is  $\Lambda^1-(\Lambda^2-\Lambda^3)_k\text{-sp}$ , wherein

$\Lambda^1$  is  $(\text{CH}_2)_l\text{Y}(\text{CH}_2)_u$ , wherein

Y is  $>\text{C}=\text{O}$ ,  $>\text{NH}$ ,  $-\text{O}-$ ,  $-\text{S}-$  or a bond,

Attorney Docket No. 9286.7  
 Application Serial No.: 10/019,902  
 Filed: July 2, 2002

t is an integer from 0 to 6 and  
 u is an integer from 0 to 6,  
 $(A^2-A^3)$  can be any  $A^2$  and any  $A^3$  in any combination,  
 $A^2$  is  $-NHCO-[L]$  or  $-CONH-$ ,  ~~$OCONH-$  or  $SCONH-$  or  $CO-$~~ ,  
 $A^3$  is  $(CH_2)_r$ ,  $O(CH_2)_r$ ,  $NH(CH_2)_r$  or  $S(CH_2)_r$  or  $(CH_2)_r$ , wherein  
~~r = 1, is an integer from 1 to 6 and~~  
~~Q is a substituted or unsubstituted alkyl or aryl group,~~  
 sp is a divalent spacer or a bond, and  
 k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

- (1) in the compound at least one R is not hydrogen,
  - (2) there are at least two K that are not a bond, and
  - (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and
  - (4) the molar mass of the fragment  $X(K)_m$  is less than 20,000, and
- n is from 2 to 100,000,  
 and wherein  $X(B)_m$  are non-covalently bonded.

28. (currently amended) A method of preparing a therapeutic drug comprising:  
 preparing the compound of the general formula (I)



wherein

X is an m-valent unit and

B are identical or different and denote K-R, wherein

K is a bond or is  $A^1-(A^2-A^3)_k-sp$ , wherein

$A^1$  is  $(CH_2)_l Y(CH_2)_u$ , wherein

Y is  $>C=O$ ,  $>NH$ ,  $-O-$ ,  $-S-$  or a bond,

Attorney Docket No. 9286.7  
 Application Serial No.: 10/019,902  
 Filed: July 2, 2002

t is an integer from 0 to 6 and

u is an integer from 0 to 6,

(A<sup>2</sup>-A<sup>3</sup>) can be any A<sup>2</sup> and any A<sup>3</sup> in any combination,

A<sup>2</sup> is -NHCO-[(,)] or -CONH-, ~~OCONH- or SCONH-, or CO-,~~

A<sup>3</sup> is (CH<sub>2</sub>)<sub>r</sub>, O(CH<sub>2</sub>)<sub>r</sub>, ~~NH(CH<sub>2</sub>)<sub>r</sub> or S(CH<sub>2</sub>)<sub>r</sub> or (CHQ)-,~~ wherein

r = 1, ~~is an integer from 1 to 6 and~~

~~Q is a substituted or unsubstituted alkyl or aryl group,~~

sp is a divalent spacer or a bond, and

k is an integer from 5 to 100, and

R is hydrogen or a ligand suitable for specific bonding to a receptor; and

m is at least 2,

with the proviso that

- (1) in the compound at least one R is not hydrogen,
- (2) there are at least two K that are not a bond, and
- (3) X, B and m are so selected that an intermolecular association of the K in liquid phase by the formation of hydrogen bonds is possible, with formation of aggregates that present on the surface a plurality of R that are not hydrogen, and
- (4) the molar mass of the fragment X(K)<sub>m</sub> is less than 20,000; or

preparing the compound of the general formula (II):



wherein

X(B)<sub>m</sub> may be identical or different and denote a compound of the general formula (I), and

n is from 2 to 100,000,

and wherein X(B)<sub>m</sub> are non-covalently bonded; and

a pharmaceutically acceptable carrier.

29. (canceled)